

(19) World Intellectual Property
Organization
International Bureau



(43) International Publication Date
3 February 2005 (03.02.2005)

PCT

(10) International Publication Number
WO 2005/009344 A3

(51) International Patent Classification⁷: **A61K 31/415**,
C07D 231/10

Drive, Newark, CA 94560 (US). WU, Jing [US/US]; 524
Shorebird Circle, Unit 15204, Redwood City, CA 94065
(US).

(21) International Application Number:
PCT/US2004/018202

(74) Agent: KUNG, Viola, T.; 301 Ravenswood Avenue,
Menlo Park, CA 94025 (US).

(22) International Filing Date: 4 June 2004 (04.06.2004)

(25) Filing Language: English

(26) Publication Language: English

(30) Priority Data:
60/476,369 5 June 2003 (05.06.2003) US

(81) Designated States (*unless otherwise indicated, for every
kind of national protection available*): AE, AG, AL, AM,
AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN,
CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI,
GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG,
PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM,
TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM,
ZW.

(71) Applicant (*for all designated States except US*): ELAN
PHARMACEUTICALS, INC. [US/US]; 800 Gateway
Boulevard, South San Francisco, CA 94080 (US).

(84) Designated States (*unless otherwise indicated, for every
kind of regional protection available*): ARIPO (BW, GH,
GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
ZW), Eurasian (AM, AZ, BY, KG, KZ, MD, RU, TJ, TM),
European (AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI,
FR, GB, GR, HU, IE, IT, LU, MC, NL, PL, PT, RO, SE, SI,
SK, TR), OAPI (BF, BJ, CF, CG, CI, CM, GA, GN, GQ,
GW, ML, MR, NE, SN, TD, TG).

(72) Inventors; and

(75) Inventors/Applicants (*for US only*): TUNG, Jay, S.
[US/US]; 2224 Semeria, Belmont, CA 94002 (US).
GAROFALO, Albert [US/US]; 128 Adrian Avenue,
South San Francisco, CA 94080 (US). PLEISS, Mike,
A. [US/US]; 848 Stella Court, Sunnyvale, CA 94087
(US). DRESSEN, Darren [US/US]; 35983 Plumeria
Way, Fremont, CA 94536 (US). GUINN, Ashley C.,
[US/US]; 1404 Ocena Park Boulevard, Santa Monica, CA
90405 (US). JENKINS, Scott, A. [US/US]; Apt. 1, 5339
Roosevelt Way NE, Seattle, WA 98105 (US). LATIMER,
Lee, H. [US/US]; 56 Sheridan Road, Oakland, CA 94618
(US). SEALY, Jennifer [CA/US]; 168 Santa Rosa Ave.,
Apt #2, Oakland, CA 94610 (US). TUCKER, John
[US/US]; 7039 Santa Fe Canyon Place, San Diego, CA
92129 (US). WONE, David [US/US]; 6170 Honeysuckle

Published:

— with international search report

(88) Date of publication of the international search report:
6 October 2005

*For two-letter codes and other abbreviations, refer to the "Guid-
ance Notes on Codes and Abbreviations" appearing at the begin-
ning of each regular issue of the PCT Gazette.*

(54) Title: ACYLATED AMINO ACID AMIDYL PYRAZOLES AND RELATED COMPOUNDS

(57) Abstract: This invention is directed to acylated amino acid amidyl pyrazoles and related compounds of Formula (I). The invention is also directed to a pharmaceutical formulation comprising such compound or in a pharmaceutically acceptable salt form thereof. The invention is further directed to a method for inhibiting β -amyloid peptide release and/or synthesis, a method for inhibiting γ -secretase activity, and a method for treating neurological disorders associated with β -amyloid peptide production. The method comprises administering to a host a pharmaceutical formulation comprising an effective amount of a compound of Formula (I). The compounds of Formula (I) are useful in the prevention and treatment of Alzheimer's disease.

WO 2005/009344 A3